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71012

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BERCH Examiner #: 59193 Date: 7/16/02  
 Art Unit: 1674 Phone Number 301 84718 Serial Number: 101030692  
 Mail Box and Bldg/Room Location: 4D5 Results Format Preferred (circle): PAPER DISK E-MAIL  
4E12

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

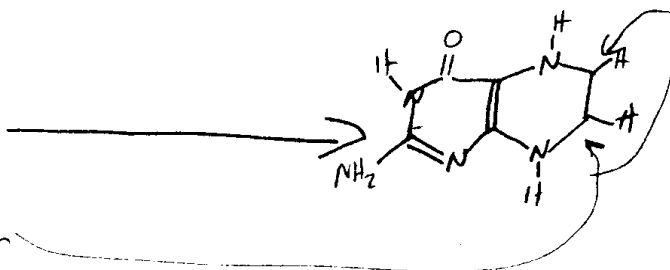
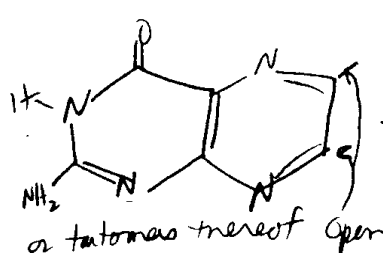
Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Filing Date: \_\_\_\_\_

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

CAS React



Catalyst must contain metal

Point of Contact:  
 Thomas G. Larson, Ph.D.  
 703-308-7309  
 CM1, Rm. 6 B 01

Point of Contact:  
 Susan Hanley  
 Technical Info. Specialist  
 CM1 6B05 Tel: 305-4053

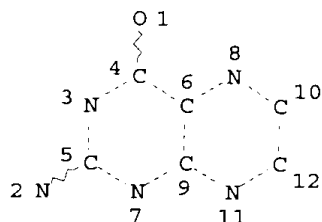
### STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>Larson &amp; Hanley</u>	NA Sequence (#) _____	STN <u>\$630</u>
Searcher Phone # <u>8-7309</u>	AA Sequence (#) _____	Dialog _____
Searcher Location <u>6B01</u>	Structure (#) <u>2</u>	Questel/Orbit _____
Date Searcher Picked Up <u>7/16/02</u>	Bibliographic _____	Dr Link _____
Date Completed <u>7/19/02</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time <u>45</u>	Fulltext _____	Sequence Systems _____
Clerical Prep Time _____	Patent Family _____	WWW/Internet _____
Online Time: <u>22.5</u>	Other _____	Other (specify) _____

=&gt; d que

L1 STR

RRT



*Cross over to HCAPLUS and  
use text search to ensure  
that no catalytic rxns  
were missed.*

## NODE ATTRIBUTES:

CONNECT IS E1 RC AT 1  
CONNECT IS E1 RC AT 2  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I  
NUMBER OF NODES IS 12

## STEREO ATTRIBUTES: NONE

L6 61 SEA FILE=CASREACT SSS FUL L1 ( 378 REACTIONS)  
L7 61 SEA FILE=HCAPLUS ABB=ON PLU=ON L6  
L10 2100979 SEA FILE=HCAPLUS ABB=ON PLU=ON ALKALI METALS+NT/CT OR  
ALKALINE EARTH METALS+NT/CT OR HEAVY METALS+NT/CT OR TRANSITION  
METALS+NT/CT  
L11 119412 SEA FILE=HCAPLUS ABB=ON PLU=ON L10 (L) CAT/RL  
L12 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 AND L11

*cross over to HCAPLUS*

*Search for metals in  
L10 where they are  
assigned a catalytic  
role*

=&gt; D IBIB ABS HITSTR 1-2

L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:514973 HCAPLUS  
Correction of: 1987:213647

DOCUMENT NUMBER: 111:114973  
Correction of: 106:213647

TITLE: (6R)-Tetrahydro-L-biopterin  
INVENTOR(S): Sakai, Hideaki; Kanai, Tadashi

PATENT ASSIGNEE(S): Shiratori Pharmaceutical Co., Ltd., Japan; Suntory,  
Ltd.

SOURCE: Eur. Pat. Appl., 29 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 191335	A2	19860820	EP 1986-100944	19860124
EP 191335	A3	19880210		
EP 191335	B1	19910814		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 61172876	A2	19860804	JP 1985-12477	19850128

JP 04013357	B4	19920309		
JP 61172877	A2	19860804	JP 1985-12478	19850128
JP 05086393	B4	19931210		
JP 09157270	A2	19970617	JP 1996-164213	19850128
US 4713454	A	19871215	US 1986-824288	19860123
CA 1262347	A1	19891017	CA 1986-500218	19860123
AU 8652720	A1	19860731	AU 1986-52720	19860124
AU 581052	B2	19890209		
AT 66229	E	19910815	AT 1986-100944	19860124

PRIORITY APPLN. INFO.:  
 JP 1985-12477 19850128  
 JP 1985-12478 19850128  
 EP 1986-100944 19860124

OTHER SOURCE(S): CASREACT 111:114973

AB The title compd. I useful for treatment of certain serious neuroses and malignant hyperphenylalaninemia (no data) was prepd. selectively by catalytic redn. of L-erythro-biopterin (II) or its acyl deriv. with Pt in the presence of an amine at pH 10-13. Thus, to H<sub>2</sub>O were added II and Pt black followed by 10% Et<sub>4</sub>N+OH<sup>-</sup> to pH = 12, and the mixt. was autoclaved at -=5.degree. and H pressure of 100 kg/cm<sup>2</sup> followed by addn. of HCl to give I-2HCl (85% yield).

IT 7440-06-4, Platinum, uses and miscellaneous

RL: CAT (Catalyst use); USES (Uses)  
 (catalysts, for redn. of biopterin)

RN 7440-06-4 HCAPLUS

CN Platinum (8CI, 9CI) (CA INDEX NAME)

*Pt - catalyst was dissolved in H<sub>2</sub>O. Need to go back to CasReact to get reactions.*

L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:460944 HCAPLUS

DOCUMENT NUMBER: 105:60944

TITLE: 5,6,7,8-Tetrahydrofolic acid

INVENTOR(S): Hirai, Yutaka; Torisu, Masaaki; Nagayoshi, Eri

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 179654	A2	19860430	EP 1985-307636	19851023
EP 179654	A3	19870805		
EP 179654	B1	19900725		
R: CH, DE, FR, GB, IT, LI, NL				
JP 61100583	A2	19860519	JP 1984-221189	19841023
JP 04014677	B4	19920313		
JP 61286383	A2	19861216	JP 1985-125130	19850611
JP 06031237	B4	19940427		
US 4665176	A	19870512	US 1985-786126	19851010
AU 8548546	A1	19860501	AU 1985-48546	19851014
AU 556498	B2	19861106		
CA 1234570	A1	19880329	CA 1985-493563	19851022
DK 8504869	A	19860424	DK 1985-4869	19851023

DK 162997 B 19920106  
DK 162997 C 19920601

PRIORITY APPLN. INFO.:

JP 1984-221189 19841023  
JP 1985-125130 19850611

OTHER SOURCE(S):

CASREACT 105:60944

AB The hydrogenation of folic and dihydrofolic acid was catalyzed by Pt, Rh, and Pt oxide at pH 5-9. Folic acid was hydrogenated in aq. NH3 contg. Pt/C at pH 6.6 to give 77.5 % title compd.

IT 7440-16-6, uses and miscellaneous

RL: CAT (Catalyst use); USES (Uses)

(catalysts, for hydrogenation of folic acid)

RN 7440-16-6 HCAPLUS

CN Rhodium (8CI, 9CI) (CA INDEX NAME)

Rh

IT 7440-06-4, uses and miscellaneous

RL: CAT (Catalyst use); USES (Uses)

(catalysts, for hydrogenation of folic and dihydrofolic acid)

RN 7440-06-4 HCAPLUS

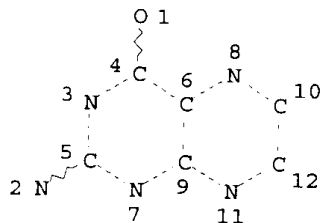
CN Platinum (8CI, 9CI) (CA INDEX NAME)

Pt

=&gt; d que 140

L5 STR

RRT



## NODE ATTRIBUTES:

CONNECT IS E1 RC AT 1

CONNECT IS E1 RC AT 2

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

## STEREO ATTRIBUTES: NONE

L6 61 SEA FILE=CASREACT SSS FUL L5 ( 378 REACTIONS)  
 L31 1 SEA FILE=CASREACT ABB=ON PLU=ON 111:114973/AN  
 L32 1 SEA FILE=CASREACT ABB=ON PLU=ON 105:60944/AN  
 L40 2 SEA FILE=CASREACT ABB=ON PLU=ON L6 AND (L31 OR L32)

} from HCA plus  
 display

=&gt; d ibib abs fcrdref 1-2

L40 ANSWER 1 OF 2 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 111:114973 CASREACT

Correction of: 106:213647

TITLE: (6R)-Tetrahydro-L-biopterin

INVENTOR(S): Sakai, Hideaki; Kanai, Tadashi

PATENT ASSIGNEE(S): Shiratori Pharmaceutical Co., Ltd., Japan; Suntory, Ltd.

SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

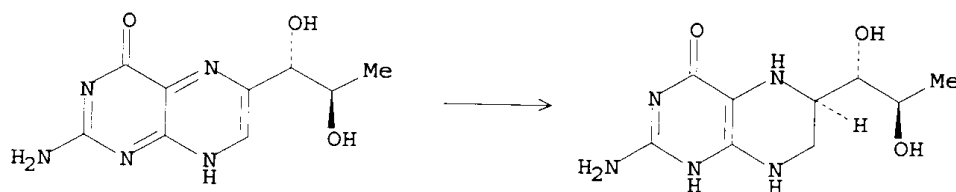
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 191335	A2	19860820	EP 1986-100944	19860124
EP 191335	A3	19880210		
EP 191335	B1	19910814		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 61172876	A2	19860804	JP 1985-12477	19850128
JP 04013357	B4	19920309		
JP 61172877	A2	19860804	JP 1985-12478	19850128

JP 05086393	B4	19931210		
JP 09157270	A2	19970617	JP 1996-164213	19850128
US 4713454	A	19871215	US 1986-824288	19860123
CA 1262347	A1	19891017	CA 1986-500218	19860123
AU 8652720	A1	19860731	AU 1986-52720	19860124
AU 581052	B2	19890209		
AT 66229	E	19910815	AT 1986-100944	19860124
PRIORITY APPLN. INFO.:			JP 1985-12477	19850128
			JP 1985-12478	19850128
			EP 1986-100944	19860124

AB The title compd. I useful for treatment of certain serious neuroses and malignant hyperphenylalaninemia (no data) was prepd. selectively by catalytic redn. of L-erythro-biopterin (II) or its acyl deriv. with Pt in the presence of an amine at pH 10-13. Thus, to H<sub>2</sub>O were added II and Pt black followed by 10% Et<sub>4</sub>N+OH<sup>-</sup> to pH = 12, and the mixt. was autoclaved at -5.degree. and H pressure of 100 kg/cm<sup>2</sup> followed by addn. of HCl to give I-2HCl (85% yield).

RX(1) OF 1



REF: Eur. Pat. Appl., 191335, 20 Aug 1986

L40 ANSWER 2 OF 2 CASREACT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 105:60944 CASREACT  
 TITLE: 5,6,7,8-Tetrahydrofolic acid  
 INVENTOR(S): Hirai, Yutaka; Torisu, Masaaki; Nagayoshi, Eri  
 PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

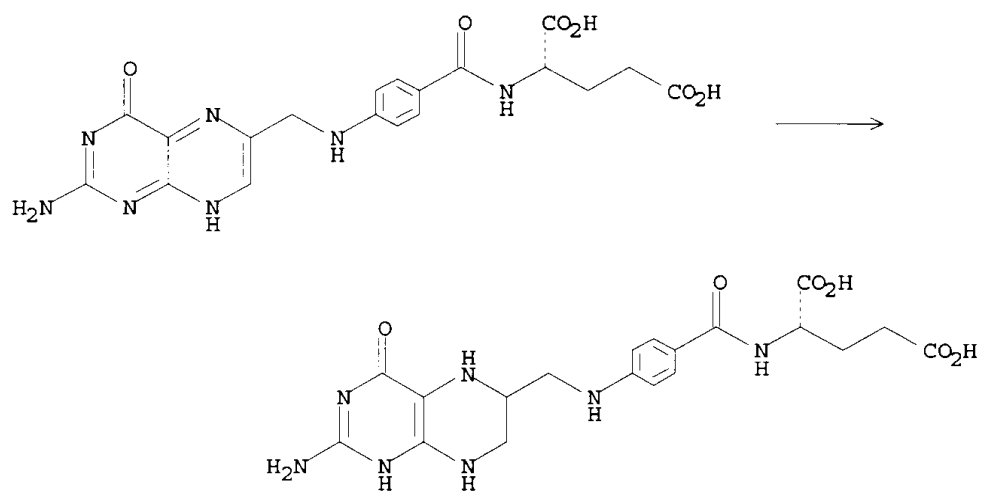
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 179654	A2	19860430	EP 1985-307636	19851023
EP 179654	A3	19870805		
EP 179654	B1	19900725		
R: CH, DE, FR, GB, IT, LI, NL				
JP 61100583	A2	19860519	JP 1984-221189	19841023
JP 04014677	B4	19920313		
JP 61286383	A2	19861216	JP 1985-125130	19850611
JP 06031237	B4	19940427		
US 4665176	A	19870512	US 1985-786126	19851010
AU 8548546	A1	19860501	AU 1985-48546	19851014
AU 556498	B2	19861106		
CA 1234570	A1	19880329	CA 1985-493563	19851022
DK 8504869	A	19860424	DK 1985-4869	19851023
DK 162997	B	19920106		

DK 162997 C 19920601  
 PRIORITY APPLN. INFO.:

JP 1984-221189 19841023  
 JP 1985-125130 19850611

AB The hydrogenation of folic and dihydrofolic acid was catalyzed by Pt, Rh, and Pt oxide at pH 5-9. Folic acid was hydrogenated in aq. NH<sub>3</sub> contg. Pt/C at pH 6.6 to give 77.5 % title compd.

RX(1) OF 1

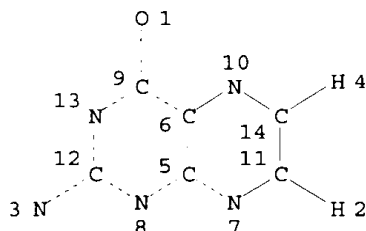


77%

REF: Eur. Pat. Appl., 179654, 30 Apr 1986

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L4

STR



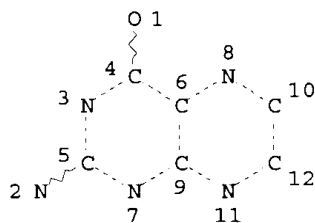
*Initial Search of  
CAS React*

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE  
L5 STR

RRT



NODE ATTRIBUTES:  
CONNECT IS E1 RC AT 1  
CONNECT IS E1 RC AT 2  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L6 61 SEA FILE=CASREACT SSS FUL L5 ( 378 REACTIONS)  
L8 26 SEA FILE=CASREACT SUB=L6 SSS FUL L4 ( 114 REACTIONS)  
L9 16 SEA FILE=CASREACT ABB=ON PLU=ON L8 (L) ANY/CAT

=> d ibib abs fcrdref 1-16

L13 ANSWER 1 OF 8 CASREACT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 134:159297 CASREACT  
TITLE: Pteridine-based photoaffinity probes for nitric oxide  
synthase and aromatic amino acid hydroxylases  
AUTHOR(S): Groehn, Viola; Frohlich, Lothar; Schmidt, Harald H. H.  
W.; Pfleiderer, Wolfgang

*Search CASREACT for  
reactant structure  
subset search  
find reactions  
using any catalyst*



CORPORATE SOURCE: Fakultat fur Chemie, Universitat Konstanz, Konstanz,  
D-78434, Germany

SOURCE: Helvetica Chimica Acta (2000), 83(10), 2738-2750  
CODEN: HCACAV; ISSN: 0018-019X

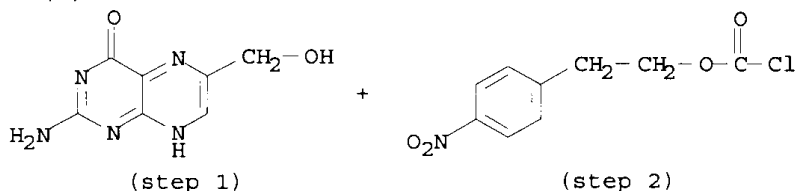
PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal

LANGUAGE: English

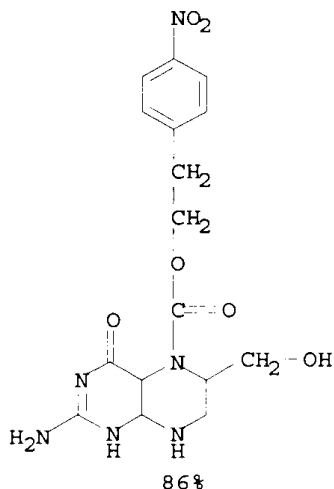
AB Various 6-substituted pteridines and 5,6,7,8-tetrahydropterins carrying photolabile functions at the side chain as well as at the 5-position were synthesized from pterin and from 6-phenylpterin and 6-(hydroxymethyl)pterin. Attachment of the photoaffinity labels via ester bonds required a special protecting-group strategy based upon acid-labile and  $\beta$ -eliminating blocking groups. 6-(4-Azidophenyl)pterin was obtained from 6-phenylpterin via intermediates due to the low soly. of simple pterins in general. The pteridine derivs. were screened as inhibitors of neuronal (type I) NO synthase from porcine cerebellum, and four of these showed interesting inhibitory activity with similar potency and effectiveness.

RX (8) OF 90



1.  $\text{PtO}_2$ ,  $\text{F}_3\text{CCO}_2\text{H}$ ,  $\text{H}_2$
2. Pyridine
3. PhMe
4. MeOH

RX (8) OF 90



868

REF: Helvetica Chimica Acta, 83(10), 2738-2750; 2000

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 8 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 134:116234 CASREACT

TITLE: Resolution of isomers of tetrahydrofolic acid ester salts and tetrahydrofolic acid using fractional crystn. techniques

INVENTOR(S): Muller, Hans Rudolf; Moser, Rudolf; Groehn, Viola

PATENT ASSIGNEE(S): Eprova A.-G., Switz.

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

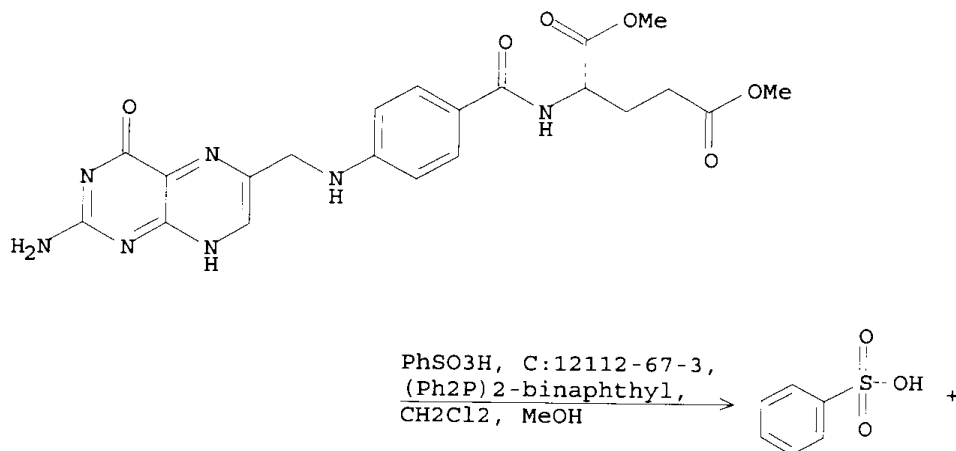
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004121	A1	20010118	WO 2000-EP6647	20000712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1200436	A1	20020502	EP 2000-949322	20000712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			CH 1999-1300	19990714
			WO 2000-EP6647	20000712

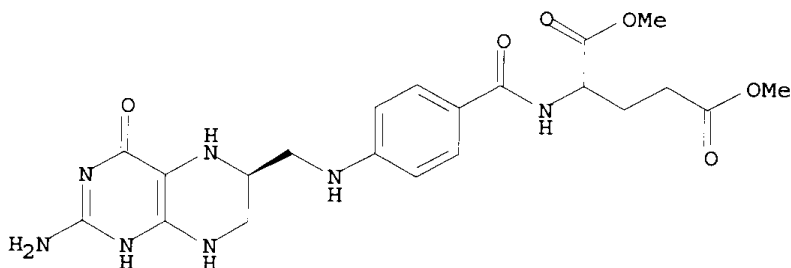
OTHER SOURCE(S): MARPAT 134:116234

AB The invention concerns a method for making and enriching ester salts of (6S,.alpha.S)- or (6S,.alpha.R)-tetrahydrofolic acid and (6S,.alpha.S)- or (6S,.alpha.R)-tetrahydrofolic acid. The invention is characterized in that it consists in: producing or dissolving equimolar or enriched mixts. of diastereomers of tetrahydrofolic acid ester additive salts with arom. sulfonic acids in org. solvents; then crystg. said mixts. at least once; hydrolyzing the crystd. product in (6S,.alpha.S)- or (6S,.alpha.R)-tetrahydrofolic acid as the case may be; crystg. the latter as free acid and isolating it in the form of salt. Thus, .alpha.S-folic acid di-Me ester benzenesulfonate was stereospecifically hydrogenated to either the the 6R- or 6S,.alpha.-tetrahydro diester salt. By fractional crystn., a starting soln. of ratio 70:30 (6S:6R) of the diester salt was sepd. to give 3.46 gm of the 6S,.alpha. form with purity of 99.9%.

RX(2) OF 15



RX(2) OF 15



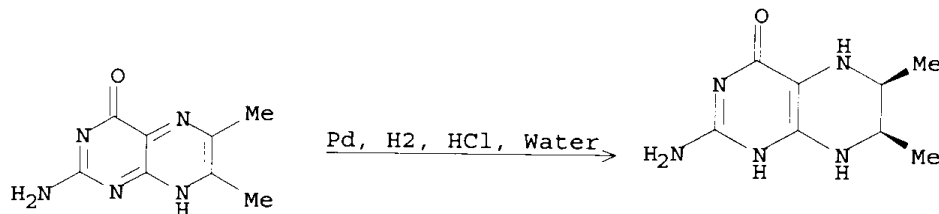
REF: PCT Int. Appl., 2001004121, 18 Jan 2001  
NOTE: catalyst generated in-situ, stereoselective

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 8 CASREACT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 123:170102 CASREACT  
TITLE: Biomimetic oxidation of L-phenylalanine with H<sub>2</sub>O<sub>2</sub> and 2-amino-6,7-dimethyl-5,6,7,8-tetrahydro-4(3H)pteridinone in different reaction conditions  
AUTHOR(S): Gupta, M.; Tomar, J.; Nizar, P. N. H.; Chauhan, S. M. S.  
CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110 007, India  
SOURCE: Indian J. Chem., Sect. B: Org. Chem. Incl. Med. Chem. (1995), 34B(5), 449-51  
CODEN: IJSBDB; ISSN: 0376-4699  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Oxidn. of L-phenylalanine (3) with H<sub>2</sub>O<sub>2</sub> in the presence of tetrahydropteridine gives tyrosine (4) and phenylpyruvic acid (5) in varying yields depending upon the pH of the reaction medium. The formation of hydroxy radicals during the oxidn. of 3 to 4 and 5 has been

inferred by use of radical quenchers in aq. medium.

RX(1) OF 1

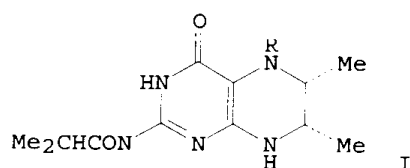


HCl

60%

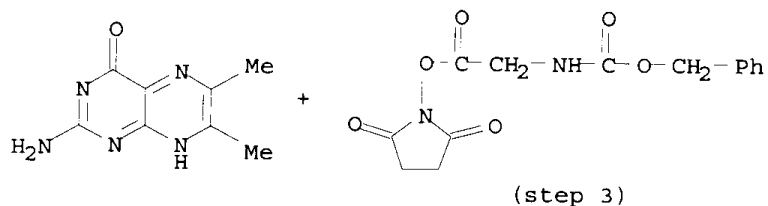
REF: Indian J. Chem., Sect. B: Org. Chem. Incl. Med. Chem., 34B(5), 449-51; 1995

L13 ANSWER 4 OF 8 CASREACT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 114:81742 CASREACT  
 TITLE: Pteridines. XCV. Synthesis of new N-5-acyl-5,6,7,8-tetrahydropterins  
 AUTHOR(S): Lockart, Ronan John; Pfleiderer, Wolfgang  
 CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed. Rep. Ger.  
 SOURCE: Pteridines (1989), 1(4), 199-210  
 CODEN: PTRDEO  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

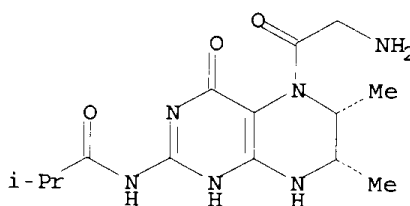


AB A series of tetrahydropterin derivs. was prepd. starting from N2-isobutyryl-6,7-dimethyl-5,6,7,8-tetrahydropterin (I; R = H). Amidation with succinic anhydride gave I (R = COCH2CH2CO2H). The latter were coupled with amino acids to give I (R = COCH2CH2CONHCHR1CO2R2; R1 = Me, CH2Ph, etc.; R2 = CH2Ph, CH2CH2C6H4NO2-4) which were selectively deprotected.

RX(30) OF 35 - 4 STEPS



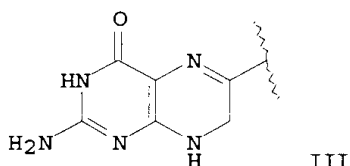
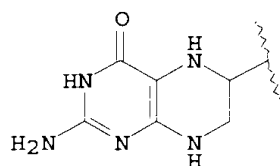
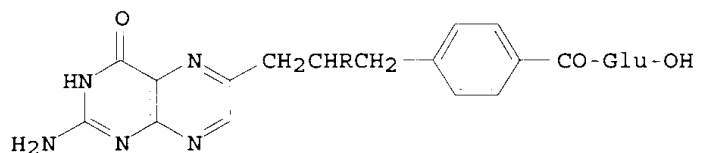
1. (i-PrCO)<sub>2</sub>O
2. PtO<sub>2</sub>, H<sub>2</sub>, MeOH
3. Pyridine, MeOH
4. Pd, H<sub>2</sub>, MeOH



HCl  
91%

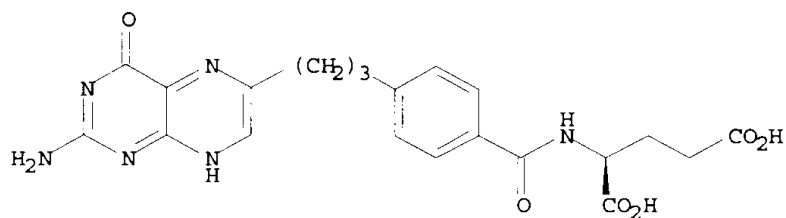
REF: Pteridines, 1(4), 199-210; 1989

L13 ANSWER 5 OF 8 CASREACT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 110:232040 CASREACT  
 TITLE: Folate analogs. 31. Synthesis of the reduced derivatives of 11-deazahomofolic acid, 10-methyl-11-deazahomofolic acid, and their evaluation as inhibitors of glycinamide ribonucleotide formyltransferase  
 AUTHOR(S): Nair, M. G.; Murthy, B. R.; Patil, Sharadbala D.; Kisliuk, R. L.; Thorndike, J.; Gaumont, Y.; Ferone, R.; Duch, D. S.; Edelstein, M. P.  
 CORPORATE SOURCE: Dep. Biochem., Univ. South Alabama, Mobile, AL, 36688, USA  
 SOURCE: J. Med. Chem. (1989), 32(6), 1277-83  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

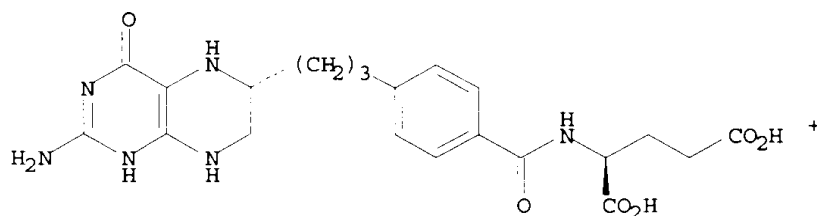


AB 11-Deazahomofolates I (R = H, Me) were prepd. and converted into (6R,S)-5,6,7,8-tetrahydro derivs. II and 7,8-dihydro derivs. III by catalytic hydrogenation. I (R = H, Me) had little inhibitory effect ( $IC_{50} > 2 \times 10^{-5}M$ ) on *Lactobacillus casei* glycylamide ribonucleotide (GAR) formyltransferase, but II (R = H) is a potent inhibitor of this enzyme ( $IC_{50} = 5 \times 10^{-8}M$ ). The 6R component is responsible for the potent inhibition. II (R = H) is a much weaker inhibitor of murine (L1210) and human (MOLT-4) leukemia cell GAR formyltransferases ( $IC_{50} > 1 \times 10^{-5}M$ ). II (R = Me) is 200 times weaker than I (R = H) against *L. casei* GAR formyltransferase. However, III (R = Me) is more inhibitory ( $IC_{50} = 5.5 \times 10^{-7}M$ ) than II (R = Me) or I (R = Me). None of the compds. inhibited *L. casei* aminoimidazolecarboxamide ribonucleotide formyltransferase, dihydrofolate reductase, or thymidylate synthase.

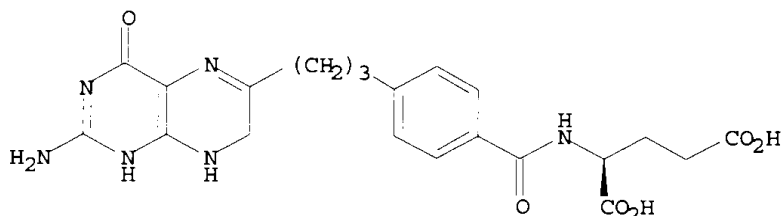
RX(1) OF 232



$\xrightarrow{PtO_2, H_2, K_3PO_4}$

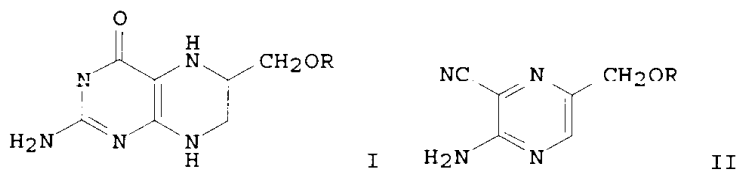


RX(1) OF 232



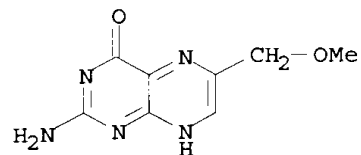
REF: J. Med. Chem., 32(6), 1277-83; 1989

L13 ANSWER 6 OF 8 CASREACT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 106:18225 CASREACT  
 TITLE: Synthetic analogs of tetrahydrobiopterin with cofactor activity for aromatic amino acid hydroxylases  
 AUTHOR(S): Bigham, E. C.; Smith, G. K.; Reinhard, J. F., Jr.; Mallory, W. R.; Nichol, C. A.; Morrison, R. W., Jr.  
 CORPORATE SOURCE: Wellcome Res. Lab., Burroughs Wellcome Co., Research Triangle Park, NC, 27709, USA  
 SOURCE: J. Med. Chem. (1987), 30(1), 40-5  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

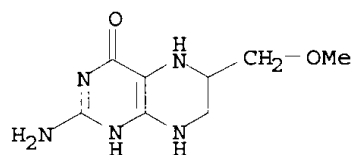


AB Tetrahydrobiopterin analogs I (R = Me, Et, Pr, CHMe2Bu, CH2CHMe2,, CMe3, pentyl, octyl, CH2CH2OMe) were prepd. by the method of E.C. Taylor et al (1973) by cyclization of ortho amino nitriles II with guanidine, hydrolysis and catalytic hydrogenation trifluoroacetic acid I (R = Et) is an excellent cofactor for phenylalanine, tyrosine, and tryptophan hydroxylases, does not destabilize the binding of substrate, and is recycled by dihydropteridine reductase. I are being evaluated as cofactor replacements in biopterin-deficiency diseases.

RX(39) OF 153



$\xrightarrow{\text{PtO}_2, \text{H}_2, \text{F}_3\text{CCO}_2\text{H}}$



2 HCl

REF: J. Med. Chem., 30(1), 40-5; 1987

L13 ANSWER 7 OF 8 CASREACT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 103:104435 CASREACT

TITLE: Tautomeric nature of quinonoid 6,7-dimethyl-7,8-dihydro-6H-pterin in aqueous solution: a nitrogen-15 NMR study

AUTHOR(S): Benkovic, Stephen J.; Sammons, Douglas; Armarego, Wilfred L. F.; Waring, Paul; Inners, Ruth

CORPORATE SOURCE: Dep. Chem., Pennsylvania State Univ., University Park, PA, 16802, USA

SOURCE: J. Am. Chem. Soc. (1985), 107(12), 3706-12

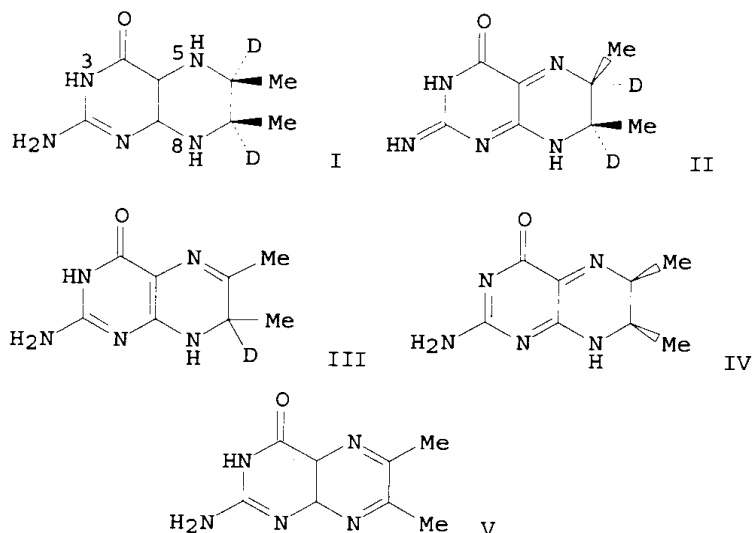
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

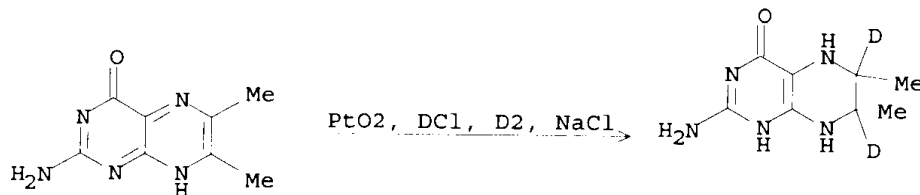
GI





AB The  $^{15}\text{N}$  chem. shifts of N(1), N(3), N(5), and the  $\text{NH}_2$  in the parent 6,7-dideuterio-5,8-dihydro-6,7-dimethylpterin (I), in  $\text{I} \cdot \text{cntdot} \cdot \text{H}^+$ , the unstable 2-electron oxidn. product quinoid 6,7-dideuterio-6,7-dimethylpterin (II),  $\text{II} \cdot \text{cntdot} \cdot \text{H}^+$ , the nonquinoid tautomers of II 7-deuterio-8-hydro-6,7-dimethylpterin (III) and IV, and fully oxidized 6,7-dimethylpterin (V) were assigned from the  $^{15}\text{N}$  labeled compds. The change in  $^{15}\text{N}$  resonances obsd. on oxidn. of the parent compd. that the endocyclic quinoid compd. IV is the predominant tautomer of 6,7-dihydro-6,7-dimethylpterin in  $\text{H}_2\text{O}$  at pH .apprx.7. The correct representation of the quinoid species of 7,8-dihydro-6H-pterins, which are not further substituted in the pyrimidine ring, is that in which the  $\text{NH}_2$  group occurs at C(2) with a C(2)-N(3) double bond.

RX(7) OF 22

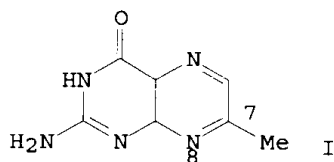


3 HCl

REF: J. Am. Chem. Soc., 107(12), 3706-12; 1985

L13 ANSWER 8 OF 8 CASREACT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 93:45834 CASREACT  
 TITLE: Pterin chemistry. Part 73. Catalytic reduction pathway of 7-methylpterin  
 AUTHOR(S): Ganguly, Abhoy N.; Sengupta, Pradip K.; Bieri, Jost

CORPORATE SOURCE: H.; Viscontini, Max  
 Org.-Chem. Inst., Univ. Zurich-Irchel, Zurich,  
 CH-8057, Switz.  
 SOURCE: Helv. Chim. Acta (1980), 63(2), 395-401  
 CODEN: HCACAV; ISSN: 0018-019X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 GI



AB Catalytic hydrogenation of 7-methylpterin (I) in neutral soln. occurs at the 7,8-double bond (thermodn.-controlled reaction) and then at the 5,6-double bond. In  $\text{CF}_3\text{CO}_2\text{H}$ , the 5,6-double bond is reduced first (kinetically-controlled reaction). The dihydro intermediate then undergoes a [1,2]-H-rearrangement leading to the formation of I 7,8-dihydro deriv. (II), which on further redn. gives the 5,6,7,8-tetrahydro deriv. Deuteration of II is stereoselective, giving a product with D at C(6) in the equatorial position.

RX(1) OF 4



REF: Helv. Chim. Acta, 63(2), 395-401; 1980